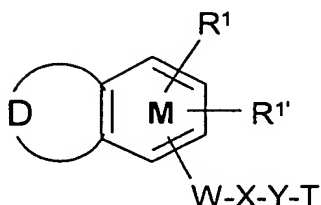


# Patent Claims

## 1. Compounds of the formula I



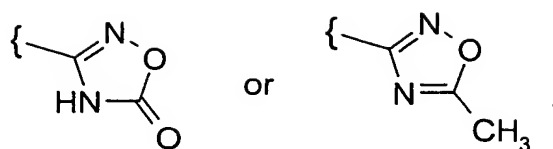
in which

D is absent or

is a saturated, fully or partially unsaturated 3- to 4-membered alkylene chain, in which from 1 to 3 carbon atoms may be replaced by N and/or 1 or 2 carbon atoms may be replaced by 1 or 2 O and/or 1 or 2 S atoms, but where at most up to 3 carbon atoms are replaced and where, in addition, the alkylene chain and/or a nitrogen present therein may be monosubstituted, disubstituted or trisubstituted by Hal, A,  $-[C(R^3)_2]_n$ -Ar,  $-[C(R^3)_2]_n$ -Het,  $-[C(R^3)_2]_n$ -cycloalkyl,  $OR^2$ ,  $N(R^2)_2$ ,  $NO_2$ , CN,  $COOR^2$ ,  $CON(R^2)_2$ ,  $NR^2COA$ ,  $NR^2SO_2A$ ,  $COR^2$ ,  $SO_2NR^2$  and/or  $S(O)_m A$ , and where, furthermore, one  $CH_2$  group in the alkylene chain may also be replaced by a  $C=O$  group,

M is a phenyl ring or an aromatic heterocyclic ring, which may contain 1-2 N, O and/or S atoms,

$R^1$  and  $R^{1'}$  are each, independently of one another, H, Hal, A,  $OR^2$ ,  $N(R^2)_2$ ,  $NO_2$ , CN,  $COOR^2$ ,  $CON(R^2)_2$ ,  $C(=S)N(R^2)_2$ ,  $-[C(R^3)_2]_n$ -Ar,  $-[C(R^3)_2]_n$ -Het,  $-[C(R^3)_2]_n$ -cycloalkyl,  $-[C(R^3)_2]_n$ - $N(R^3)_2$ , CN,  $-C(=NH)-NH_2$  which is unsubstituted or monosubstituted by  $C(=O)R^3$ ,  $COOR^3$ ,  $OR^3$ ,  $OCOR^3$ ,  $OCOOR^3$  or by a conventional amino-protecting group, or



- 5
- $R^2$  is H, A,  $-[C(R^3)_2]_n\text{-Ar}$ ,  $-[C(R^3)_2]_n\text{-Het}$ ,  $-[C(R^3)_2]_n\text{-cycloalkyl}$ ,  $-[C(R^3)_2]_n\text{-N(R}^3)_2$  or  $-[C(R^3)_2]_n\text{-OR}^3$ ,
- $R^{2'}$  is H, A,  $-[C(R^3)_2]_n\text{-Ar}'$ ,  $-[C(R^3)_2]_n\text{-Het}'$ ,  $-[C(R^3)_2]_n\text{-cycloalkyl}$ ,  $-[C(R^3)_2]_n\text{-N(R}^3)_2$  or  $-[C(R^3)_2]_n\text{-OR}^3$ ,
- 10  $R^{2''}$  is H, A,  $-[C(R^3)_2]_n\text{-Ar}'$ ,  $-[C(R^3)_2]_n\text{-cycloalkyl}$ ,  $-[C(R^3)_2]_n\text{-N(R}^3)_2$  or  $-[C(R^3)_2]_n\text{-OR}^3$ ,
- $R^3$  is H or A,
- 15 W is a monocyclic or bicyclic saturated, unsaturated or aromatic carbocyclic or heterocyclic ring having from 1 to 4 N, O and/or S atoms, which may be monosubstituted or disubstituted by  $R^2$ ,
- X is  $\text{CONR}^2$ ,  $\text{CONR}^2\text{C(R}^3)_2$ ,  $-\text{C(R}^3)_2\text{NR}^2$ ,  $-\text{C(R}^3)_2\text{NR}^2\text{C(R}^3)_2$ ,  $-\text{C(R}^3)_2\text{O}-$ ,  $-\text{C(R}^3)_2\text{OC(R}^3)_2-$  or  $\text{NR}^2\text{CO}$ ,
- 20 Y is alkylene, cycloalkylene, Het-diyl or Ar-diyl,
- T is a monocyclic or bicyclic, saturated, unsaturated or aromatic carbocyclic or heterocyclic ring having from 1 to 4 N, O and/or S atoms which is monosubstituted or disubstituted by  $=\text{S}$ ,  $=\text{NR}^2$ ,  $=\text{N-CN}$ ,  $=\text{N-NO}_2$ ,  $=\text{NOR}^2$ ,  $=\text{NCOR}^2$ ,  $=\text{NCOOR}^2$  or  $=\text{NOCOR}^2$  and may furthermore be monosubstituted, disubstituted or trisubstituted by Hal, A,  $-[C(R^3)_2]_n\text{-Ar}$ ,  $-[C(R^3)_2]_n\text{-Het}$ ,  $-[C(R^3)_2]_n\text{-cycloalkyl}$ ,  $\text{OR}^3$ ,  $\text{N(R}^3)_2$ ,  $\text{NO}_2$ ,  $\text{CN}$ ,  $\text{COOR}^2$ ,  $\text{CON(R}^2)_2$ ,  $\text{NR}^2\text{COA}$ ,  $\text{NR}^2\text{CON(R}^2)_2$ ,  $\text{NR}^2\text{SO}_2\text{A}$ ,  $\text{COR}^2$ ,  $\text{SO}_2\text{NR}^2$  and/or  $\text{S(O)}_m\text{A}$ ,
- 25
- 30
- A is unbranched or branched alkyl having 1-10 carbon atoms, in which one or two  $\text{CH}_2$  groups may be replaced by O or S atoms and/or by  $-\text{CH=CH}-$  groups, and/or in addition 1-7 H atoms may be replaced by F,
- 35

- Ar is phenyl, naphthyl or biphenyl, each of which is unsubstituted or monosubstituted, disubstituted or trisubstituted by Hal, A, OR<sup>3</sup>, N(R<sup>3</sup>)<sub>2</sub>, NO<sub>2</sub>, CN, COOR<sup>3</sup>, CON(R<sup>3</sup>)<sub>2</sub>, NR<sup>3</sup>COA, NR<sup>3</sup>CON(R<sup>3</sup>)<sub>2</sub>, NR<sup>3</sup>SO<sub>2</sub>A, COR<sup>3</sup>, SO<sub>2</sub>N(R<sup>3</sup>)<sub>2</sub>, S(O)<sub>m</sub>A, -[C(R<sup>3</sup>)<sub>2</sub>]<sub>n</sub>-COOR<sup>2</sup> or -O-[C(R<sup>3</sup>)<sub>2</sub>]<sub>o</sub>-COOR<sup>2</sup>,
- Ar' is phenyl or benzyl, each of which is unsubstituted or monosubstituted or disubstituted by Hal,
- Het is a monocyclic or bicyclic, saturated, unsaturated or aromatic heterocyclic ring having from 1 to 4 N, O and/or S atoms, which may be unsubstituted or monosubstituted, disubstituted or trisubstituted by carbonyl oxygen, =S, =N(R<sup>3</sup>)<sub>2</sub>, Hal, A, -[C(R<sup>3</sup>)<sub>2</sub>]<sub>n</sub>-Ar, -[C(R<sup>3</sup>)<sub>2</sub>]<sub>n</sub>-Het<sup>1</sup>, -[C(R<sup>3</sup>)<sub>2</sub>]<sub>n</sub>-cycloalkyl, -[C(R<sup>3</sup>)<sub>2</sub>]<sub>n</sub>-OR<sup>2</sup>, -[C(R<sup>3</sup>)<sub>2</sub>]<sub>n</sub>-N(R<sup>2</sup>)<sub>2</sub>, NO<sub>2</sub>, CN, -[C(R<sup>3</sup>)<sub>2</sub>]<sub>n</sub>-COOR<sup>2</sup>, -[C(R<sup>3</sup>)<sub>2</sub>]<sub>n</sub>-CON(R<sup>2</sup>)<sub>2</sub>, -[C(R<sup>3</sup>)<sub>2</sub>]<sub>n</sub>-NR<sup>2</sup>COA, NR<sup>2</sup>CON(R<sup>2</sup>)<sub>2</sub>, -[C(R<sup>3</sup>)<sub>2</sub>]<sub>n</sub>-NR<sup>2</sup>SO<sub>2</sub>A, COR<sup>2</sup>, SO<sub>2</sub>NR<sup>2</sup> and/or S(O)<sub>m</sub>A,
- Het<sup>1</sup> is a monocyclic or bicyclic, saturated, unsaturated or aromatic heterocyclic ring having 1 or 2 N, O and/or S atoms, which may be unsubstituted or monosubstituted or disubstituted by carbonyl oxygen, =S, =N(R<sup>3</sup>)<sub>2</sub>, Hal, A, OR<sup>2</sup>, N(R<sup>2</sup>)<sub>2</sub>, NO<sub>2</sub>, CN, COOR<sup>2</sup>, CON(R<sup>2</sup>)<sub>2</sub>, NR<sup>2</sup>COA, NR<sup>2</sup>CON(R<sup>2</sup>)<sub>2</sub>, NR<sup>2</sup>SO<sub>2</sub>A, COR<sup>2</sup>, SO<sub>2</sub>NR<sup>2</sup> and/or S(O)<sub>m</sub>A,
- Hal is F, Cl, Br or I,
- n is 0, 1 or 2,
- m is 0, 1 or 2,
- o is 1, 2 or 3,
- and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

2. Compounds of the formula I according to Claim 1, in which D is absent,

and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

- 5           3.   Compounds of the formula I according to Claim 1 or 2, in which  
          M       is a phenyl ring,  
          and pharmaceutically usable derivatives, solvates and stereoisomers  
          thereof, including mixtures thereof in all ratios.
- 10          4.   Compounds of the formula I according to one or more of Claims 1-3,  
          in which  
          D       is a saturated, fully or partially unsaturated 3- to 4-membered  
                  alkylene chain, in which from 1 to 3 carbon atoms may be  
15               replaced by N and/or 1 or 2 carbon atoms may be replaced  
                  by 1 or 2 O and/or 1 or 2 S atoms, but where at most up to 3  
                  carbon atoms are replaced and where, in addition, the  
                  alkylene chain and/or a nitrogen present therein may be  
20               monosubstituted, disubstituted or trisubstituted by Hal, A,  
                  OR<sup>2</sup> or N(R<sup>2</sup>)<sub>2</sub>, and where, furthermore, one CH<sub>2</sub> group in the  
                  alkylene chain may also be replaced by a C=O group,  
          and pharmaceutically usable derivatives, solvates and stereoisomers  
          thereof, including mixtures thereof in all ratios.
- 25          5.   Compounds of the formula I according to one or more of Claims 1-4,  
          in which  
          D       is a saturated, fully or partially unsaturated 3- to 4-membered  
                  alkylene chain, in which from 1 to 3 carbon atoms may be  
30               replaced by N and/or 1 or 2 carbon atoms may be replaced  
                  by 1 or 2 O and/or 1 or 2 S atoms, but where at most up to 3  
                  carbon atoms are replaced and where, in addition, the  
                  alkylene chain and/or a nitrogen present therein may be  
35               monosubstituted, disubstituted or trisubstituted by A or NH<sub>2</sub>,

and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

- 5        6.    Compounds of the formula I according to one or more of Claims 1-5,  
in which

          D        is absent or is a saturated 3- to 4-membered alkylene chain,  
                  in which from 1 to 3 carbon atoms may be replaced by N  
                  and/or 1 or 2 carbon atoms may be replaced by 1 or 2 O  
10                atoms, but where at most up to 3 carbon atoms are replaced,  
and where, in addition, the alkylene chain and/or a nitrogen atom  
located therein may be monosubstituted or disubstituted by NH<sub>2</sub>,  
and pharmaceutically usable derivatives, solvates and stereoisomers  
15                thereof, including mixtures thereof in all ratios.

7.    Compounds of the formula I according to one or more of Claims 1-6,  
in which

20        D        is absent or is -CH=N-CH=CH-, -CH=CH-N=CH-, -NH-  
                  N=CH-, -CH=N-NH-, -O-N=CH- or -CH=N-O-,  
and where, in addition, D may be monosubstituted by NH<sub>2</sub>,  
and pharmaceutically usable derivatives, solvates and stereoisomers  
25                thereof, including mixtures thereof in all ratios.

8.    Compounds of the formula I according to Claim 1,  
in which

          R<sup>1</sup>        is H, -[C(R<sup>3</sup>)<sub>2</sub>]<sub>n</sub>-N(R<sup>3</sup>)<sub>2</sub>, CON(R<sup>2</sup>)<sub>2</sub>, C(=S)NH<sub>2</sub> or N(R<sup>2</sup>)<sub>2</sub>,  
30        R<sup>1'</sup>        is H,  
and pharmaceutically usable derivatives, solvates and stereoisomers  
thereof, including mixtures thereof in all ratios.

- 35        9.    Compounds of the formula I according to one or more of Claims 1-8,  
in which

          R<sup>1</sup>        is H, CH<sub>2</sub>NH<sub>2</sub>, CONH<sub>2</sub>, C(=S)NH<sub>2</sub> or NH<sub>2</sub>,

R<sup>1'</sup> is H,

and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

5

10. Compounds of the formula I according to one or more of Claims 1-9, in which

10

W is a monocyclic saturated, unsaturated or aromatic carbocyclic or heterocyclic ring having 1 or 2 N, O and/or S atoms, which may be monosubstituted or disubstituted by R<sup>2</sup>, and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

15

11. Compounds of the formula I according to one or more of Claims 1-10, in which

20

W is cyclohexanediyl, cyclopentanediyl, phenylene, biphenylene, furandiyl, thiophenediyl, pyrrolediyl, imidazole-diyl, pyrazolediyl, oxazolediyl, isoxazolediyl, thiazolediyl, isothiazolediyl, pyridinediyl, pyrimidinediyl, pyrrolidinediyl, piperidinediyl or piperazinediyl, each of which is unsubstituted or monosubstituted or disubstituted by R<sup>2</sup>, and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

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30

12. Compounds of the formula I according to one or more of Claims 1-11, in which

W is pyrazolediyl, which is unsubstituted or monosubstituted by A,

and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

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13. Compounds of the formula I according to one or more of Claims 1-12, in which

X is CONH, CONHCH<sub>2</sub>, CH<sub>2</sub>NH or CH<sub>2</sub>NHCH<sub>2</sub>,  
and pharmaceutically usable derivatives, solvates and stereoisomers  
thereof, including mixtures thereof in all ratios.

5 14. Compounds of the formula I according to one or more of Claims 1-13,  
in which

X is CONH,  
and pharmaceutically usable derivatives, solvates and stereoisomers  
10 thereof, including mixtures thereof in all ratios.

15 15. Compounds of the formula I according to one or more of Claims 1-14,  
in which

Y is alkylene or Ar-diyl,  
and pharmaceutically usable derivatives, solvates and stereoisomers  
thereof, including mixtures thereof in all ratios.

20 16. Compounds of the formula I according to one or more of Claims 1-15,  
in which

Y is phenylene which is unsubstituted or monosubstituted or  
disubstituted by A, Br, Cl or F,  
and pharmaceutically usable derivatives, solvates and stereoisomers  
25 thereof, including mixtures thereof in all ratios.

17. Compounds of the formula I according to one or more of Claims 1-16,  
in which

30 T is a monocyclic saturated or unsaturated heterocyclic ring  
having from 1 to 3 N, O and/or S atoms, which is monosub-  
stituted or disubstituted by =S, =NR<sup>2</sup>, =NOR<sup>2</sup>, =N-CN, =N-  
NO<sub>2</sub>, =NCOR<sup>2</sup>, =NCOOR<sup>2</sup> or =NOCOR<sup>2</sup>, and may be mono-  
substituted or disubstituted by A, CON(R<sup>2</sup>)<sub>2</sub> or COOR<sup>2</sup>,  
35 and pharmaceutically usable derivatives, solvates and stereoisomers  
thereof, including mixtures thereof in all ratios.

18. Compounds of the formula I according to one or more of Claims 1-17,  
in which
- 5 T is a monocyclic saturated or unsaturated heterocyclic ring  
having from 1 to 3 N, O and/or S atoms, which is monosubstituted or disubstituted by =S, =NR<sup>2</sup>, =N-CN or =NOR<sup>2</sup>, and may be monosubstituted or disubstituted by A, CON(R<sup>2</sup>)<sub>2</sub> or COOR<sup>2</sup>,
- 10 and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.
19. Compounds of the formula I according to one or more of Claims 1-18,  
in which
- 15 T is piperidin-1-yl, pyrrolidin-1-yl, 1*H*-pyridin-1-yl, morpholin-4-yl, piperazin-1-yl, 1,3-oxazolidin-3-yl, 2*H*-pyridazin-2-yl, azepan-1-yl, 2-azabicyclo[2.2.2]octan-2-yl, pyrazol-2-yl, imidazolidin-1-yl, 1,3,4-thiadiazol-3-yl or 1,2-dihydropyrazol-2-yl, each of which is monosubstituted or disubstituted by =NR<sup>2</sup>, =S, =N-CN or =NOR<sup>2</sup> and may furthermore be monosubstituted or disubstituted by A, CONH<sub>2</sub> or COOA,
- 20 and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.
- 25
20. Compounds of the formula I according to one or more of Claims 1-19,  
in which
- 30 T is 2-iminopiperidin-1-yl, 2-iminopyrrolidin-1-yl, 2-imino-1*H*-pyridin-1-yl, 3-iminomorpholin-4-yl, 4-imino-1*H*-pyridin-1-yl, 2,6-diiminopiperidin-1-yl, 2-iminopiperazin-1-yl, 2,6-diiminopiperazin-1-yl, 2,5-diiminopyrrolidin-1-yl, 2-imino-1,3-oxazolidin-3-yl, 3-imino-2*H*-pyridazin-2-yl, 2-iminoazepan-1-yl, 2-hydroxy-6-iminopiperazin-1-yl, pyrazol-2-yl, 1,2-dihydro-
- 35



pyrazol-2-yl, 2-methoxy-6-iminopiperazin-1-yl, 2-imino-1,3,4-thiadiazol-3-yl, 2-iminoimidazolidin-1-yl, and the corresponding hydroxyimino, alkoxyimino, thioxo and  $=N-(CH_2)_{1-3}NA'_2$  derivatives, where  $A'$  is alkyl having 1, 2, 3, 4, 5 or 6 carbon atoms,

and where the heterocyclic rings may furthermore be monosubstituted or disubstituted by  $A$ ,  $CONH_2$  or  $COOA$ ,

and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

21. Compounds of the formula I according to one or more of Claims 1-20, in which

$T$  is 2-iminopyrrolidin-1-yl, 2-iminopiperidin-1-yl, 2-imino-1,3,4-thiadiazol-3-yl, 2-iminoimidazolidin-1-yl or 3-imino-1,2-dihydropyrazol-2-yl, and the corresponding hydroxyimino, alkoxyimino and thioxo derivatives, where the heterocyclic radicals may furthermore be monosubstituted or disubstituted by  $A$ ,  $CONH_2$  or  $COOA$ ,

and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

22. Compounds of the formula I according to one or more of Claims 1-21, in which

$D$  is absent or is  $-CH=N-CH=CH-$ ,  $-CH=CH-N=CH-$ ,  $-NH-N=CH-$ ,  $-CH=N-NH-$ ,  $-O-N=CH-$  or  $-CH=N-O-$ ,

$M$  is a phenyl ring,

$R^1$  is  $H$ ,  $CH_2NH_2$ ,  $CONH_2$ ,  $C(=S)NH_2$  or  $NH_2$ ,

$R^{1'}$  is  $H$ ,

$W$  is a monocyclic saturated, unsaturated or aromatic carbocyclic or heterocyclic ring having 1 or 2  $N$ ,  $O$  and/or  $S$  atoms, which may be monosubstituted or disubstituted by  $R^2$ ,

$R^2$  is  $H$  or alkyl having 1, 2, 3, 4, 5 or 6 carbon atoms,

- $R^2$  is H or alkyl having 1, 2, 3, 4, 5 or 6 carbon atoms,  
 $X$  is CONH, CONHCH<sub>2</sub>, CH<sub>2</sub>NH or CH<sub>2</sub>NHCH<sub>2</sub>,  
 $Y$  is alkylene or Ar-diyl,  
 $Ar$  is phenyl, naphthyl or biphenyl, each of which is unsubstituted  
 5 or monosubstituted, disubstituted or trisubstituted by Hal, A, OH, NH<sub>2</sub>, NO<sub>2</sub>, CN, COOH, CONH<sub>2</sub>, NHCOA, NHCONH<sub>2</sub>, NHSO<sub>2</sub>A, COH, SO<sub>2</sub>NH<sub>2</sub>, S(O)<sub>m</sub>A, -(CH<sub>2</sub>)<sub>n</sub>-COOR<sup>2'</sup> or -O-(CH<sub>2</sub>)<sub>o</sub>-COOR<sup>2'</sup>,  
 10  $m$  and  $n$  are each, independently of one another, 0, 1 or 2,  
 $o$  is 1, 2 or 3,  
 $T$  is piperidin-1-yl, pyrrolidin-1-yl, 1*H*-pyridin-1-yl, morpholin-4-yl, piperazin-1-yl, 1,3-oxazolidin-3-yl, 2*H*-pyridazin-2-yl,  
 15 azepan-1-yl, 2-azabicyclo[2.2.2]octan-2-yl, pyrazol-2-yl, 1,3,4-thiadiazol-3-yl, imidazolidin-1-yl or 1,2-dihydropyrazol-2-yl, each of which is monosubstituted or disubstituted by =NR<sup>2</sup>, =N-CN, =S or =NOR<sup>2</sup> and may furthermore be mono-substituted or disubstituted by A, CONH<sub>2</sub> or COOA,  
 20 and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.
- 25 23. Compounds of the formula I according to one or more of Claims 1-22, in which  
 $D$  is absent or is -CH=N-CH=CH-, -CH=CH-N=CH-, -NH-N=CH-, -CH=N-NH-, -O-N=CH- or -CH=N-O-,  
 30  $M$  is a phenyl ring,  
 $R^1$  is H, CH<sub>2</sub>NH<sub>2</sub>, CONH<sub>2</sub>, C(=S)NH<sub>2</sub> or NH<sub>2</sub>,  
 $R^{1'}$  is H,  
 $W$  is cyclohexanediyl, cyclopentanediiyl, phenylene, biphenylene,  
 35 furandiyl, thiophenediyl, pyrrolediyl, imidazolediyl, pyrazolediyl, oxazolediyl, isoxazolediyl, thiazolediyl, isothiazolediyl, pyridinediyl, pyrimidinediyl or pyrrolidinediyl,

each of which is unsubstituted or monosubstituted or disubstituted by  $R^2$ ,

$R^2$  is H or alkyl having 1, 2, 3, 4, 5 or 6 carbon atoms,

$R^{2'}$  is H or alkyl having 1, 2, 3, 4, 5 or 6 carbon atoms,

X is CONH, CONHCH<sub>2</sub>, CH<sub>2</sub>NH or CH<sub>2</sub>NHCH<sub>2</sub>,

Y is phenylene which is unsubstituted or monosubstituted or disubstituted by A, Br, Cl or F,

A is unbranched or branched alkyl having 1, 2, 3, 4, 5 or 6 carbon atoms and/or in addition 1-7 H atoms may be replaced by F,

T is piperidin-1-yl, pyrrolidin-1-yl, 1*H*-pyridin-1-yl, morpholin-4-yl, piperazin-1-yl, 1,3-oxazolidin-3-yl, 2*H*-pyridazin-2-yl, azepan-1-yl, 2-azabicyclo[2.2.2]octan-2-yl, pyrazol-2-yl, 1,3,4-thiadiazol-3-yl, imidazolidin-1-yl or 1,2-dihydropyrazol-2-yl, each of which is monosubstituted or disubstituted by  $=NR^2$ ,  $=N-CN$ ,  $=S$  or  $=NOR^2$  and may furthermore be monosubstituted or disubstituted by A, CONH<sub>2</sub> or COOA,

and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

24. Compounds of the formula I according to one or more of Claims 1-23, in which

D is absent or is -CH=N-CH=CH-, -CH=CH-N=CH-, -NH-N=CH-, -CH=N-NH-, -O-N=CH- or -CH=N-O-,

M is a phenyl ring,

$R^1$  is H, CH<sub>2</sub>NH<sub>2</sub>, CONH<sub>2</sub>, C(=S)NH<sub>2</sub> or NH<sub>2</sub>,

$R^{1'}$  is H,

W is pyrazolediyl or thiazolediyl, each of which is unsubstituted or monosubstituted by A,

X is CONH,

Y is phenylene which is unsubstituted or monosubstituted or disubstituted by A, Br, Cl or F,

T is 2-iminopyrrolidin-1-yl, 2-iminopiperidin-1-yl, 2-imino-1,3,4-thiadiazol-3-yl, 2-iminoimidazolidin-1-yl or 3-imino-1,2-dihydropyrazol-2-yl, and the corresponding hydroxyimino, cyanoimino, alkoxyimino and thioxo derivatives, where the heterocyclic radicals may furthermore be monosubstituted or disubstituted by A, CONH<sub>2</sub> or COOA,

A is unbranched or branched alkyl having 1, 2, 3, 4, 5 or 6 carbon atoms and/or in addition 1-7 H atoms may be replaced by F,

and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

25. Compounds according to Claim 1 selected from the group consisting of

N-[4-(2-iminopyrrolidin-1-yl)phenyl]-2-(3-aminomethylphenyl)-5-trifluoromethyl-2*H*-pyrazole-3-carboxamide,

N-[4-(2-thioxopyrrolidin-1-yl)phenyl]-2-(3-aminocarbonylphenyl)-5-trifluoromethyl-2*H*-pyrazole-3-carboxamide,

N-[4-(2-methoxyiminopyrrolidin-1-yl)phenyl]-2-(3-aminocarbonylphenyl)-5-trifluoromethyl-2*H*-pyrazole-3-carboxamide,

N-[4-(2-iminopyrrolidin-1-yl)phenyl]-2-(3-aminobenzo[d]isoxazol-5-yl)-5-trifluoromethyl-2*H*-pyrazole-3-carboxamide,

N-[4-(2-imino-5-methyl-3*H*-1,3,4-thiadiazol-3-yl)phenyl]-2-(3-aminobenzo[d]isoxazol-5-yl)-5-trifluoromethyl-2*H*-pyrazole-3-carboxamide,

N-[4-(1,5-dimethyl-3-imino-1,2-dihydropyrazol-2-yl)phenyl]-2-(3-aminobenzo[d]isoxazol-5-yl)-5-trifluoromethyl-2*H*-pyrazole-3-carboxamide,

N-[4-(2-thioxopyrrolidin-1-yl)phenyl]-2-(3-aminobenzo[d]-isoxazol-5-yl)-5-trifluoromethyl-2*H*-pyrazole-3-carboxamide,

N-[4-(2-methoxyiminopyrrolidin-1-yl)phenyl]-2-(3-amino-1*H*-indazol-5-yl)-5-trifluoromethyl-2*H*-pyrazole-3-carboxamide,

5 N-[4-(2-thioxopyrrolidin-1-yl)phenyl]-2-(3-amino-1*H*-indazol-5-yl)-5-trifluoromethyl-2*H*-pyrazole-3-carboxamide,

N-[4-(2-methoxyiminopyrrolidin-1-yl)phenyl]-2-(3-thiocarbamoylphenyl)-5-trifluoromethyl-2*H*-pyrazole-3-carboxamide,

10 N-[4-(2-hydroxyiminopyrrolidin-1-yl)phenyl]-2-(3-aminomethylphenyl)-5-trifluoromethyl-2*H*-pyrazole-3-carboxamide,

N-[3-methyl-4-(2-methoxyiminopyrrolidin-1-yl)phenyl]-2-(3-aminocarbonylphenyl)-5-trifluoromethyl-2*H*-pyrazole-3-carboxamide,

15 N-[4-(2-iminopyrrolidin-1-yl)phenyl]-2-(3-aminocarbonylphenyl)-5-trifluoromethyl-2*H*-pyrazole-3-carboxamide,

N-[3-bromo-4-(2-imino-5-methyl-3*H*-1,3,4-thiadiazol-3-yl)phenyl]-2-(3-aminocarbonylphenyl)-5-trifluoromethyl-2*H*-pyrazole-3-carboxamide,

20 N-[4-(2-imino-5-methyl-3*H*-1,3,4-thiadiazol-3-yl)phenyl]-2-(3-aminocarbonylphenyl)-5-trifluoromethyl-2*H*-pyrazole-3-carboxamide,

25 N-[4-(2-iminoimidazolidin-1-yl)phenyl]-2-(3-aminocarbonylphenyl)-5-trifluoromethyl-2*H*-pyrazole-3-carboxamide,

N-[4-(2-iminoimidazolidin-1-yl)-3-methylphenyl]-2-(3-aminocarbonylphenyl)-5-trifluoromethyl-2*H*-pyrazole-3-carboxamide,

30 N-[4-(2-cyanoiminoimidazolidin-1-yl)phenyl]-2-(3-aminocarbonylphenyl)-5-trifluoromethyl-2*H*-pyrazole-3-carboxamide,

N-[4-(2-cyanoimino-3-methylimidazolidin-1-yl)phenyl]-2-(3-aminocarbonylphenyl)-5-trifluoromethyl-2*H*-pyrazole-3-carboxamide,

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N-[4-(2-imino-5-ethyl-3*H*-1,3,4-thiadiazol-3-yl)phenyl]-2-(3-aminocarbonylphenyl)-5-trifluoromethyl-2*H*-pyrazole-3-carboxamide,

N-[4-(2-imino-5-aminocarbonyl-3*H*-1,3,4-thiadiazol-3-yl)phenyl]-2-(3-aminocarbonylphenyl)-5-trifluoromethyl-2*H*-pyrazole-3-carboxamide,

N-[4-(2-imino-5-ethoxycarbonyl-3*H*-1,3,4-thiadiazol-3-yl)phenyl]-2-(3-aminocarbonylphenyl)-5-trifluoromethyl-2*H*-pyrazole-3-carboxamide,

N-[4-(2-imino-5-ethyl-3*H*-1,3,4-thiadiazol-3-yl)phenyl]-5-(3-aminocarbonylphenyl)-2-methylthiazole-4-carboxamide,

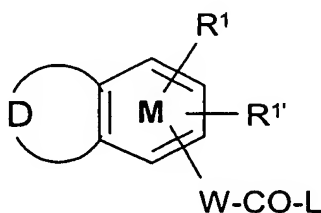
N-[4-(2-imino-5-ethyl-3*H*-1,3,4-thiadiazol-3-yl)phenyl]-2-(3-aminocarbonylphenyl)-5-methyl-2*H*-pyrazole-3-carboxamide,

and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

26. Process for the preparation of compounds of the formula I according to Claims 1-24 and pharmaceutically usable derivatives, solvates and stereoisomers thereof, characterised in that

a) for the preparation of a compound of the formula I  
in which X is  $\text{CONR}^2$  or  $\text{CONR}^2\text{C(R}^3)_2$ ,

a compound of the formula II



II

in which

L is Cl, Br, I or a free or reactively functionally modified OH group,

and  $R^1$ ,  $R^{1'}$ , D, M and W are as defined in Claim 1,  
with the proviso that any further OH and/or amino group present is  
protected,

5 is reacted with a compound of the formula III



III

10 in which  
 $Z'$  is  $NHR^2$  or  $NHR^2C(R^3)_2$ ,  
and  $R^2$ , Y and T are as defined in Claim 1,  
and any protecting group is subsequently removed,

15 b) and/or in that a radical T,  $R^1$  and/or  $R^{1'}$  in a compound of the  
formula I is converted into another radical T,  $R^1$  and/or  $R^{1'}$

20 by, for example,

- i) converting a sulfanyl compound into an imino compound,
- ii) removing an amino-protecting group,

25 and/or  
a base or acid of the formula I is converted into one of its salts.

30 27. Compounds of the formula I according to one or more of Claims 1 to  
25 as inhibitors of coagulation factor Xa.

28. Compounds of the formula I according to one or more of Claims 1 to  
25 as inhibitors of coagulation factor VIIa.

35. 29. Medicament comprising at least one compound of the formula I  
according to one or more of Claims 1 to 25 and/or pharmaceutically

usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios, and optionally excipients and/or adjuvants.

- 5 30. Medicament comprising at least one compound of the formula I according to one or more of Claims 1 to 25 and/or pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios, and at least one further medicament
- 10 active ingredient.
31. Use of compounds according to one or more of Claims 1 to 25 and/or physiologically acceptable salts and solvates thereof for the prepara-
- 15 tion of a medicament for the treatment of thromboses, myocardial infarction, arteriosclerosis, inflammation, apoplexia, angina pectoris, restenosis after angioplasty, claudicatio intermittens, migraine, tumours, tumour diseases and/or tumour metastases.
- 20 32. Set (kit) consisting of separate packs of
- (a) an effective amount of a compound of the formula I according to one or more of claims 1 to 25 and/or pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures
- 25 thereof in all ratios,
- and
- (b) an effective amount of a further medicament active ingredi-
- ent.
- 30 33. Use of compounds of the formula I according to one or more of Claims 1 to 25 and/or pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios, for the preparation of a medicament for the treatment of thromboses,
- 35 myocardial infarction, arteriosclerosis, inflammation, apoplexia,



angina pectoris, restenosis after angioplasty, claudicatio intermittens,  
migraine, tumours, tumour diseases and/or tumour metastases,  
in combination with at least one further medicament active ingredient.

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